

Application No. 10/633,579

Amendment

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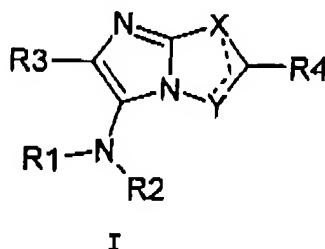
Amendments to the Claims:

The following listing of claims replaces all prior versions, and listings, of claims in the application:

Listing of Claims:

Claims 1-18 (Cancelled)

19. (Previously presented) A bicyclic imidazo-5-yl-amine of formula I



wherein

R¹ denotes C(CH₃)₃; (CH₂)₆CN; optionally substituted phenyl; C₄-C₈-cycloalkyl; CH₂CH₂R (R = 4-morpholino); 1,1,3,3-tetramethylbutyl; or CH₂R^a, wherein R^a represents hydrogen, branched or unbranched C₁-C₈-alkyl, optionally substituted phenyl, CO(OR') (where R' = branched or unbranched C₁-C₈-alkyl), PO(OR'')₂ (where R'' = branched or unbranched C₁-C₄-alkyl) or Si(R^xR^yR^z) (where R^x, R^y and R^z in each case independently of one another are branched or unbranched C₁-C₈-alkyl, C₄-C₈-cycloalkyl or phenyl),

R² denotes hydrogen; COR^b, wherein R^b represents hydrogen, branched or unbranched C₁-C₈-alkyl, C₃-C₈-cycloalkyl, CH₂CH₂CO(OR') (where R' = branched or unbranched C₁-C₈-alkyl), adamantyl, optionally substituted phenyl, optionally substituted 1-naphthyl, 2-naphthyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thiazolyl or furyl; CH₂R^c, wherein R^c represents hydrogen, branched or unbranched C₁-C₈-alkyl or optionally substituted phenyl; CH₂CH₂R^d, wherein R^d represents optionally substituted phenyl; or CONHR^e, wherein R^e represents phenyl,

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R³ denotes branched or unbranched C₁-C₈-alkyl, C₃-C₈-cycloalkyl, optionally substituted phenyl, optionally substituted 1-naphthyl, 2-naphthyl, quinoline, anthracene, phenanthrene, benzothiophene, benzofurfuryl, optionally substituted pyrrole, 2-pyridyl, 3-pyridyl, 4-pyridyl, optionally substituted furfuryl or optionally substituted thiophene,

X denotes CR⁵ or N, and

Y is N,

R⁴ and R⁵ independently of one another denote hydrogen; branched or unbranched C₁-C₈-alkyl; fluorine; chlorine; bromine; CF₃; CN; NO₂; NHR^f, wherein R^f represents hydrogen, branched or unbranched C₁-C₈-alkyl or optionally substituted phenyl; SR^g, wherein R^g represents hydrogen, branched or unbranched C₁-C₈-alkyl, phenyl, pyridine, benzyl or fluorenyl; OR^h, wherein R^h represents branched or unbranched C₁-C₈-alkyl, optionally substituted phenyl or CO(OR') (R' = branched or unbranched C₁-C₈-alkyl); CO(OR') or CH₂CO(OR'), wherein R' in each case has the abovementioned meaning or in the case of the group CH₂CO(OR') also denotes hydrogen, or an optionally substituted phenyl group,

wherein optionally substituted phenyl, optionally substituted 1-naphthyl, optionally substituted pyrrole, optionally substituted furfuryl, optionally substituted thiophene, and optionally substituted alkyl is optionally substituted by one or more substituents selected from the group consisting of a halogen atom, cyano group, nitro group, carboxyl group, hydroxyl group, C₁-C₄ alkylamido group, C₁-C₄ alkylamino group, pyrrolidino group, branched or unbranched C₁-C₆ alkyl group, C₁-C₄ alkyl group substituted with one or more halogen atoms, C₁-C₄ alkoxy group, C₁-C₄ alkoxy group substituted with one or more halogen atoms, and halogen substituted phenoxy group,

or a pharmaceutically acceptable salt thereof,

excluding compounds in which simultaneously R¹ denotes C(CH₃)₃, R² denotes hydrogen, R³ denotes unsubstituted phenyl, and Y denotes N, or simultaneously R¹ denotes C(CH₃)₃, R² denotes hydrogen, R³ denotes

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unsubstituted phenyl, Y denotes NH, and X denotes N or CR⁵, where R⁵ = CO₂ethyl.

20. (Previously presented) A bicyclic imidazo-5-yl-amine according to claim 19, wherein R⁸ is a substituted phenyl group selected from the group consisting of 4-acetamidophenyl, 2-bromophenyl, 3-bromophenyl, 4-bromophenyl, 4-bromo-2-fluorophenyl, 5-bromo-2-fluorophenyl, 3-bromo-4-fluorophenyl, 4-*tert*-butylphenyl, 2-chloro-4-fluorophenyl, 2-chloro-6-fluorophenyl, 2-chlorophenyl, 3-chlorophenyl, 4-chlorophenyl, 4-cyanophenyl, 2,3-dichlorophenyl, 2,4-dichlorophenyl, 3,4-dichlorophenyl, 2,3-dimethoxyphenyl, 3,4-dimethoxyphenyl, 2,4-dimethylphenyl, 2,5-dimethylphenyl, 2-fluorophenyl, 3-fluorophenyl, 4-fluorophenyl, 4-hexylphenyl, 3-hydroxyphenyl, 2-methoxyphenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-nitrophenyl, 3-phenoxyphenyl, 4-(1-pyrrolidino)phenyl, 2-(trifluoromethyl)phenyl, 3-(trifluoromethyl)phenyl, 4-(trifluoromethyl)phenyl, 3,4,5-trimethoxyphenyl, 3-(4-chlorophenoxy)phenyl and 4-acetoxy-3-methoxyphenyl,

or R⁸ is a substituted 1-naphthyl group selected from the group consisting of 4-dimethylaminonaphthyl, 2-ethoxynaphthyl and 4-methoxynaphthyl,

or R⁸ is a substituted pyrrole group selected from the group consisting of 2-(1-(phenylsulfonyl)pyrrole), 2-(N-methylpyrrole), 2-(N-(3,5-dichlorophenyl)pyrrole) and 2-(1-(4-chlorophenyl)pyrrole),

or R⁸ is a substituted furfuryl group selected from the group consisting of 2-(5-acetoxymethylfurfuryl), 2-(5-methylfurfuryl), 2-(5-nitrofurfuryl), 2-[5-(3-nitrophenyl)furfuryl], 2-[5-(2-nitrophenyl)furfuryl], 2-(5-bromofurfuryl), 2-[5-(4-chlorophenyl)furfuryl], 2-(4,5-dimethylfurfuryl), 2-[5-(2-chlorophenyl)furfuryl], 2-(5-ethylfurfuryl) and 2-[5-(1,3-dioxalane)furfuryl],

or R⁸ is a substituted thiophene group, selected from the group consisting of 2-(5-chlorothiophenyl), 2-(5-methylthiophenyl), 2-(5-ethylthiophenyl), 2-(3-methylthiophenyl), 2-(4-bromothiophenyl), 2-(5-nitrothiophenyl), 5-(2-carboxythiophenyl), 2-[4-(phenylethyl)thiophenyl], 2-[5-(methylthio)thiophenyl], 2-(3-bromothiophenyl), 2-(3-phenoxythiophenyl) and 2-(5-bromothiophenyl).

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21. (Previously presented) A bicyclic imidazo-5-yl-amine according to claim 19, wherein R^b is a substituted phenyl group selected from the group consisting of 3,5-bis(trifluoromethyl)phenyl, 2-bromophenyl, 2-fluorophenyl, pentafluorophenyl, 2,4-difluorophenyl, 2,6-difluorophenyl, 2-chlorophenyl, 2,4-dichlorophenyl, 2-acetylphenyl, 2-methoxyphenyl, 2,6-dimethoxyphenyl, 2-(trifluoromethyl)phenyl, 2-methylphenyl, 3-bromophenyl, 3-fluorophenyl, 3-chlorophenyl, 3,4-dichlorophenyl, 3-methoxyphenyl, 3,4-dimethoxyphenyl, 3,4,5-trimethoxyphenyl, 3,5-dimethoxyphenyl, 3-(trifluoromethyl)phenyl, 3-methoxyphenyl, 4-bromophenyl, 4-fluorophenyl, 4-chlorophenyl, 4-methoxyphenyl, 4-(trifluoromethyl)phenyl, 4-*tert*-butylphenyl, 4-methylphenyl, 2-iodophenyl, 4-iodophenyl, 4-cyanophenyl, 2-nitrophenyl, 3-nitrophenyl, 3,5-dinitrophenyl, 4-nitrophenyl, 3,5-dichlorophenyl, 2,5-difluorophenyl, 2,4-dimethoxyphenyl, 3-nitro-4-methylphenyl, 2,5-dichlorophenyl, 2,3-difluorophenyl, 4-(trifluoromethoxy)phenyl, 2-(trifluoromethoxy)phenyl, and 3-(trifluoromethoxy)phenyl.

22. (Previously presented) A bicyclic imidazo-5-yl-amine according to claim 19, wherein R^c is a substituted phenyl group selected from the group consisting of 2-fluorophenyl, 2-chlorophenyl, 2-methylphenyl 2-(trifluoromethyl)phenyl, 2-bromophenyl, 3-methoxyphenyl, 3-nitrophenyl, 3-chlorophenyl, 3-fluorophenyl, 3-phenoxyphenyl, 3-(trifluoromethoxy)phenyl, 3-bromophenyl, 3-chlorophenyl, 3-methylphenyl, 4-*tert*-butylphenyl, 4-fluorophenyl, 4-chlorophenyl, 4-vinylphenyl, 4-(trifluoromethoxy)phenyl, 3,5-dimethoxyphenyl, 3,5-difluorophenyl, 3,5-di(trifluoromethyl)phenyl, 3,5-difluorophenyl, 3,5-dimethylphenyl 2,3-dichlorophenyl, 2,3-dimethylphenyl, 2,3-difluorophenyl, 3-chloro-2-fluorophenyl, 2-chloro-4-fluorophenyl, 2,4-di(trifluoromethyl)phenyl, 2,4-dichlorophenyl, 2,4-difluorophenyl, 2,4-dimethylphenyl, 2,5-dichlorophenyl, 2,5-dimethylphenyl, 2,5-difluorophenyl, 3,4-dichlorophenyl, 3,4-difluorophenyl, 3,4-dimethylphenyl, 2,3,4-trifluorophenyl, 2,3,6-trifluorophenyl, 2,4,5-trifluorophenyl, 2,4,6-trimethylphenyl and pentafluorophenyl.

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23. (Previously presented) A bicyclic imidazo-5-yl-amine according to claim 19, wherein R^d is a substituted phenyl group selected from the group consisting of 3-chlorophenyl, 4-chlorophenyl, 4-carboxyphenyl, 4-acetylphenyl, 4-methoxyphenyl, 4-fluorophenyl, 4-nitrophenyl and 4-hydroxyphenyl.

24. (Previously presented) A bicyclic imidazo-5-yl-amine selected from the group consisting of

tert-butyl-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(5-pyridin-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(5-pyridin-3-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(5-pyridin-4-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(5-methyl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
cyclohexyl-(5-pyridin-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
(2,6-dimethyl-phenyl)-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(2-phenyl-5H-imidazo[1,2-b]pyrazol-3-yl)-amine,
tert-butyl-[5-(2,3-dichloro-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,
tert-butyl-[5-(2,4-dichloro-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,
tert-butyl-[5-(2-methoxy-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,
tert-butyl-(5-o-tolyl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-[5-(2,3-dimethoxy-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,
tert-butyl-[5-(2-fluorophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,
tert-butyl-(5-naphthalen-1-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
cyclohexyl-(5-naphthalen-1-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
[5-(2-bromophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine,
N-[4-(6-cyclohexylamino-imidazo[1,2-b][1,2,4]triazol-5-yl)-phenyl]-acetamide,
tert-butyl-[5-(2,5-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,
[5-(2,4-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine,

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[5-(2,5-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine, and

N-butyl-N-[5-(2-chloro-6-fluorophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-acetamide,

or a pharmaceutically acceptable salt thereof.

25. (Previously presented) A pharmaceutical composition comprising at least one pharmaceutically active bicyclic imidazo-5-yl-amine according to Claim 19, or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable excipient.

26. (Previously presented) A pharmaceutical composition according to Claim 25, wherein at least one bicyclic imidazo-5-yl-amine is selected from the group consisting of

tert-butyl-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(5-pyridin-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(5-pyridin-3-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(5-pyridin-4-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(5-methyl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
cyclohexyl-(5-pyridin-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
(2,6-dimethyl-phenyl)-(5-furan-2-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-(2-phenyl-5H-imidazo[1,2-b]pyrazol-3-yl)-amine,
tert-butyl-[5-(2,3-dichloro-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,
tert-butyl-[5-(2,4-dichloro-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,
tert-butyl-[5-(2-methoxy-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,
tert-butyl-(5-o-tolyl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
tert-butyl-[5-(2,3-dimethoxy-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,
tert-butyl-[5-(2-fluorophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,
tert-butyl-(5-naphthalen-1-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,
cyclohexyl-(5-naphthalen-1-yl-imidazo[1,2-b][1,2,4]triazol-6-yl)-amine,

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[5-(2-bromophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine,

N-[4-(6-cyclohexylamino-imidazo[1,2-b][1,2,4]triazol-5-yl)-phenyl]-acetamide,

tert-butyl-[5-(2,5-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-amine,

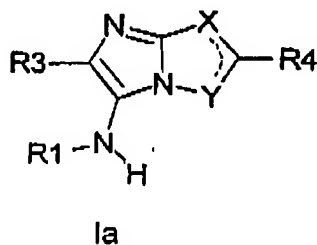
[5-(2,4-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine,

[5-(2,5-dimethyl-phenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-(1,1,3,3-tetramethyl-butyl)-amine, and

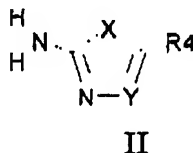
N-butyl-N-[5-(2-chloro-6-fluorophenyl)-imidazo[1,2-b][1,2,4]triazol-6-yl]-acetamide.

27. (Previously presented) A method for the treatment of pain, comprising administering to a patient in need thereof an effective pain-alleviating amount of a pharmaceutical composition according to Claim 25.

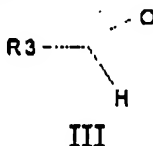
28. (Currently amended) A process for the preparation of a bicyclic imidazo-5-yl-amine of Formula Ia,



the process being three-component reaction and comprising reacting an amidine of Formula II



with an aldehyde of Formula III

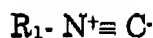


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and an isonitrile of Formula IV



IV

in the presence of 20% perchloric acid,

wherein in all formulae,

R¹ denotes C(CH₃)₃, (CH₂)₆CN, optionally substituted phenyl, C₄-C₈-cycloalkyl, CH₂CH₂R (R = 4-morpholino), 1,1,3,3-tetramethylbutyl or CH₂R^a, wherein R^a represents hydrogen, branched or unbranched C₁-C₈-alkyl, optionally substituted phenyl, CO(OR') (where R' = branched or unbranched C₁-C₈-alkyl), PO(OR'')₂ (where R'' = branched or unbranched C₁-C₄-alkyl) or Si(R^xR^yR^z) (where R^x, R^y and R^z in each case independently of one another are branched or unbranched C₁-C₈-alkyl, C₄-C₈-cycloalkyl or phenyl),

R³ denotes branched or unbranched C₁-C₈-alkyl, C₈-C₈-cycloalkyl, optionally substituted phenyl, optionally substituted 1-naphthyl, 2-naphthyl, quinoline, anthracene, phenanthrene, benzothiophene, benzofurfuryl, optionally substituted pyrrole, 2-pyridyl, 3-pyridyl, 4-pyridyl, optionally substituted furfuryl or optionally substituted thiophene,

X denotes CR⁵ or N,

Y is N,

R⁴ and R⁵ independently of one another denote hydrogen; branched or unbranched C₁-C₈-alkyl; fluorine; chlorine; bromine; CF₃; CN; NO₂; NHR^f, wherein R^f represents hydrogen, branched or unbranched C₁-C₈-alkyl or optionally substituted phenyl; SR^g, wherein R^g represents hydrogen, branched or unbranched C₁-C₈-alkyl, phenyl, pyridine, benzyl or fluorenyl; OR^h, wherein R^h represents branched or unbranched C₁-C₈-alkyl, optionally substituted phenyl or CO(OR') (R' = branched or unbranched C₁-C₈-alkyl); CO(OR') or CH₂CO(OR'), wherein R' in each case has the abovementioned meaning or in the case of the group CH₂CO(OR') also denotes hydrogen, or an optionally substituted phenyl group,

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wherein optionally substituted phenyl, optionally substituted 1-naphthyl, optionally substituted pyrrole, optionally substituted furfuryl, optionally substituted thiophene, and optionally substituted alkyl is optionally substituted by one or more substituents selected from the group consisting of a halogen atom, cyano group, nitro group, carboxyl group, hydroxyl group, C₁-C₄ alkylamido group, C₁-C₄ alkylamino group, pyrrolidino group, branched or unbranched C₁-C₆ alkyl group, C₁-C₄ alkyl group substituted with one or more halogen atoms, C₁-C₄ alkoxy group, C₁-C₄ alkoxy group substituted with one or more halogen atoms, and halogen substituted phenoxy group,

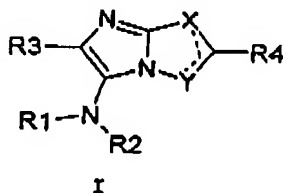
excluding compounds wherein R¹ denotes C(CH₃)₃, R³ denotes unsubstituted phenyl, and Y denotes N, or wherein R¹ denotes C(CH₃)₃, R³ denotes unsubstituted phenyl, Y denotes NH, and X denotes N or CR⁵, where R⁵ = CO₂ethyl [[,]] .

29. (Previously presented) A process according to Claim 28, wherein the reaction is carried out in methylene chloride at a temperature of 0°C to 40°C.

30. (Previously presented) A process according to Claim 29, wherein the temperature is between 10°C and 20°C.

31. (Previously presented) A process according to Claim 29, wherein the compound of Formula II is selected from the group consisting of 3-aminopyrazole, 3-amino-1,2,4-triazole, 2-amino-1,3,4-thiadiazole and 2-aminothiazole.

32. (Currently amended) A process for the preparation of a bicyclic imidazo-5-yl-amine of Formula I

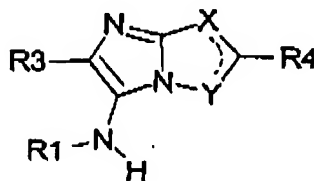


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the process comprising reacting a compound of Formula Ia according to
~~Claim 12~~



Ia

wherein

R¹ denotes C(CH₃)₃, (CH₂)₆CN, optionally substituted phenyl, C₄-C₈-cycloalkyl, CH₂CH₂R (R = 4-morpholino), 1,1,3,3-tetramethylbutyl or CH₂R^a, wherein R^a represents hydrogen, branched or unbranched C₁-C₈-alkyl, optionally substituted phenyl, CO(OR') (where R' = branched or unbranched C₁-C₈-alkyl), PO(OR'')₂ (where R'' = branched or unbranched C₁-C₄-alkyl) or Si(R^xR^yR^z) (where R^x, R^y and R^z in each case independently of one another are branched or unbranched C₁-C₈-alkyl, C₄-C₈-cycloalkyl or phenyl).

R³ denotes branched or unbranched C₁-C₈-alkyl, C₃-C₈-cycloalkyl, optionally substituted phenyl, optionally substituted 1-naphthyl, 2-naphthyl, quinoline, anthracene, phenanthrene, benzothiophene, benzofurfuryl, optionally substituted pyrrole, 2-pyridyl, 3-pyridyl, 4-pyridyl, optionally substituted furfuryl or optionally substituted thiophene.

X denotes CR⁵ or N.

Y is N.

R⁴ and R⁵ independently of one another denote hydrogen; branched or unbranched C₁-C₈-alkyl; fluorine; chlorine; bromine; CF₃; CN; NO₂; NHR^f, wherein R^f represents hydrogen, branched or unbranched C₁-C₈-alkyl or optionally substituted phenyl; SR^g, wherein R^g represents hydrogen, branched or unbranched C₁-C₈-alkyl, phenyl, pyridine, benzyl or fluorenyl; OR^h, wherein R^h represents branched or unbranched C₁-C₈-alkyl, optionally substituted phenyl or CO(OR') (R' = branched or unbranched C₁-C₈-alkyl); CO(OR') or CH₂CO(OR').

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wherein R' in each case has the abovementioned meaning or in the case of the group CH₂CO(OR') also denotes hydrogen, or an optionally substituted phenyl group.

wherein optionally substituted phenyl, optionally substituted 1-naphthyl, optionally substituted pyrrole, optionally substituted furfuryl, optionally substituted thiophene, and optionally substituted alkyl is optionally substituted by one or more substituents selected from the group consisting of a halogen atom, cyano group, nitro group, carboxyl group, hydroxyl group, C₁-C₄ alkylamido group, C₁-C₄ alkylamino group, pyrrolidino group, branched or unbranched C₁-C₆ alkyl group, C₁-C₄ alkyl group substituted with one or more halogen atoms, C₁-C₄ alkoxy group, C₁-C₄ alkoxy group substituted with one or more halogen atoms, and halogen substituted phenoxy group.

with a compound R²Hal, wherein Hal represents bromine, iodine or chlorine, or with an optionally substituted isocyanate R^eNCO in the presence of a morpholine resin in methylene chloride for 2 to 24 hours at a temperature between 10°C and 40°C,

wherein R² denotes hydrogen; COR^b, wherein R^b represents hydrogen, branched or unbranched C₁-C₈-alkyl, C₃-C₈-cycloalkyl, CH₂CH₂CO(OR') (where R' = branched or unbranched C₁-C₈-alkyl), adamantyl, optionally substituted phenyl, optionally substituted 1-naphthyl, 2-naphthyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thiazolyl or furoyl; CH₂R^c, wherein R^c represents hydrogen, branched or unbranched C₁-C₈-alkyl or optionally substituted phenyl; CH₂CH₂R^d, wherein R^d represents optionally substituted phenyl; or CONHR^e, wherein R^e represents phenyl, and

wherein optionally substituted isocyanate is optionally substituted by one or more substituents selected from the group consisting of a halogen atom, cyano group, nitro group, carboxyl group, hydroxyl group, C₁-C₄ alkylamido group, C₁-C₄ alkylamino group, pyrrolidino group, branched or unbranched C₁-C₆ alkyl group, C₁-C₄ alkyl group substituted with one or more halogen atoms, C₁-C₄

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alkoxy group, C₁-C₄ alkoxy group substituted with one or more halogen atoms, and halogen substituted phenoxy group.

33. (Previously presented) The process of Claim 32, wherein after the reaction excess reagents are removed by filtration through a layer of polymer-bonded tris(2-aminoethyl) amine.

34. (Previously presented) The process of Claim 32, wherein the compound of Formula Ia is first dissolved in methylene chloride or THF.

35. (Previously presented) The process according to Claim 32, wherein R²Hal is an optionally substituted alkyl chloride, aryl chloride or hydrogen chloride.

36. (Previously presented) The process of Claim 32, wherein the morpholine resin is a polystyrene-morpholine resin.